Figure 1. Target protected tyrosine building block

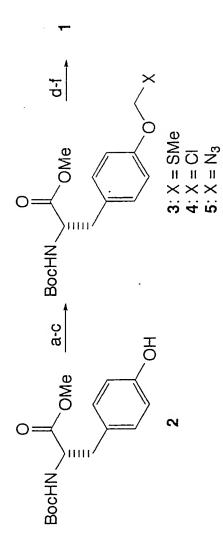


Figure 2. Scheme for the synthesis of target 1. Conditions: (a) KOt-Bu, NaI, CH₃SCH₂CI, DMF, 82%; (b) NCS, TMSCI, CH₂CI₂; (c) NaN₃, DMF, H₂O, 87% (over 2 steps); (d) TMSOTf, CH₂CI₂; (e) FmocOSu, Et₃N, THF, 84% (over 2 steps); (f) LiOH-H₂O, THF-H₂O, 0 °C, 88%.

Stepwise Fmoc-SPPS $(AA)_{Y} \longrightarrow Tyr \longrightarrow (AA)_{X} \longrightarrow COO \longrightarrow 2\text{-Clt linker} \longrightarrow OBn \longrightarrow ON_{3} \longrightarrow OBn$ 1. $SnCl_{2}/PhSH /Et_{3}N$ | 2. $DMF \cdot SO_{3}$ | (AZm removal) | $(AA)_{Y} \longrightarrow Tyr \longrightarrow (AA)_{X} \longrightarrow COO \longrightarrow 2\text{-Clt linker} \longrightarrow OBn \longrightarrow OSO_{3}H \longrightarrow OBn$ 1. $CH_{2}Cl_{2}/TFE/AcOH$ | 2. H_{2} , $Pd(OH)_{2}/C$ | Sulfated Peptide

Figure 3. Overview of sulfated peptide synthesis.

